What is claimed is:

A compound comprising Formula XXVIII:

XXVIII

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

 R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

 R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

 R_3 and R_4 are taken together to form a substituted or unsubstituted 5 or 6 membered ring; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

- 2. A compound according to claim 1, wherein U provides 1-4 atom separation between V and the ring.
- 3. A compound according to claim 1, wherein U provides 1-3 atom separation between V and the ring.
- 4. A compound according to claim 1, wherein U is selected from the group consisting of -CH₂-, -CH₂CH₂-, -CH₂CH₂-, -C(O)-, -C(O)CH₂-, -CH₂-C(O)CH₂-, -C(O)CH₂-, -C(O)CH₂-, -C(O)CH₂-, -CH₂CH₂-, -CH₂-, -CH₂CH₂-, -CH₂-, -CH

- -NHCH₂-, -CH₂NH-, -CH₂NHCH₂-, -NHCH₂CH₂-, -CH₂CH₂NH-, -NH-C(O)-, -NCH₃-C(O)-, -C(O)NH-, -C(O)NCH₃-, -NHC(O)CH₂-, -C(O)NHCH₂-, -C(O)CH₂NH-, -CH₂NHC(O)-, -CH₂C(O)NH-, -NHCH₂C(O)-, -S-, -SCH₂-, -CH₂S-, -SCH₂CH₂-, -CH₂SCH₂-, -CH₂CH₂S-, -C(O)S-, -C(O)SCH₂-, -CH₂C(O)S-, -C(O)CH₂S-, and -CH₂SC(O)-, each substituted or unsubstituted.
- 5. A compound according to claim 1, wherein U is selected from the group consisting of - CH_2 -, - CHR_9 -, - $C(R_9)(R_9)$ -, -O-, -N(H)-, - $N(R_9)$ -, and -S-.
- 6. A compound according to claim 1, wherein V is selected from the group consisting of a primary, secondary or tertiary amine, a heterocycloalkyl comprising a nitrogen ring atom, and a heteroaryl comprising a nitrogen ring atom.
- 7. A compound according to claim 1, wherein the basic nitrogen of V is separated from the ring atom to which R₂ is attached by between 1-5 atoms.
- 8. A compound according to claim 1, wherein the basic nitrogen of V forms part of a primary, secondary or tertiary amine.
- 9. A compound according to claim 1, wherein the basic nitrogen of V is a nitrogen ring atom of a heterocycloalkyl comprising a nitrogen ring atom or a heteroaryl comprising a nitrogen ring atom.
- 10. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted aryl.
- 11. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted phenyl.
- 12. A compound according to claim 1, wherein R₁ is a substituted or unsubstituted heteroaryl.
- 13. A compound comprising Formula XXIX:

$$\begin{array}{c|c}
K & & Q & & R_1 \\
 & & & & & \\
M & & & & & \\
XXIX
\end{array}$$

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

J, K, L, and M are each independently selected from the group of CR₁₂ and N;

 R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R_{12} is hydrogen or is independently selected from the group consisting of halo, perhalo(C_{1-10})alkyl, CF_3 , alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

- 14. A compound according to claim 13, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.
- 15. A compound according to claim 13, wherein the compound is a compound where J comprises a nitrogen ring atom.

- 16. A compound according to claim 13, wherein the compound is a compound where K comprises a nitrogen ring atom.
- 17. A compound according to claim 13, wherein the compound is a compound where L comprises a nitrogen ring atom.
- 18. A compound according to claim 13, wherein the compound is a compound where M comprises a nitrogen ring atom.
- 19. A compound according to claim 13, wherein the compound is a compound where J and L each comprise a nitrogen ring atom or J and K each comprise a nitrogen ring atom.
- 20. A compound according to claim 13, wherein the compound is a compound where K and L each comprise a nitrogen ring atom.
- 21. A compound according to claim 13, wherein the compound is a compound where K and M each comprise a nitrogen ring atom.
- 22. A compound according to claim 13, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
- 23. A compound according to claim 13, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
- 24. A compound according to claim 13, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
- 25. A compound according to claim 13, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to or bridged to the ring formed by J, K, L, and M.

- 26. A compound according to claim 13, wherein K is CR_{12} , where R_{12} is independently selected from the group consisting of halo, perhalo(C_{1} -10)alkyl, CF_{3} , alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
- 27. A compound according to claim 13, wherein K is CR_{12} , where R_{12} is independently selected from the group consisting of halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, and alkoxy, each substituted or unsubstituted.
- 28. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryl, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, thio, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
- 29. A compound according to claim 13, wherein K is CR₁₂, where R₁₂ is independently selected from the group consisting of chloro, bromo, fluoro, iodo, methoxy, morpholin-4-yl, and pyrrolidin-1-yl, each substituted or unsubstituted.
- 30. A compound according to claim 13, wherein L is CR_{12} , where R_{12} is independently selected from the group consisting of halo, perhalo(C_{1^-10})alkyl, CF_3 , alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, cyano, nitro, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.
- 31. A compound according to claim 13, wherein L is CR_{12} , where R_{12} is independently selected from the group consisting of halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, aryloxy, heteroaryloxy, amino, morpholin-4-yl, and pyrrolidin-1-yl, and alkoxy, each substituted or unsubstituted.

32. A compound according to claim 13, wherein K and L are independently CR_{12} , where R_{12} is independently selected from the group consisting of halo, perhalo(C_{1^-10})alkyl, CF_3 , cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted.

33. A compound according to claim 13, wherein:

K is CR₁₂, where R₁₂ is independently selected from the group consisting of halo, perhalo(C₁-10)alkyl, CF₃, cyano, nitro, alkyl, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, a carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted; and L is nitrogen.

34. A compound comprising a member selected from the group consisting of Formulae XXXa, XXXb, XXXc, XXXd, XXXe and XXXf:

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

 R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R_{19} is independently selected from the group consisting of hydrogen, halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl,

aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R_{19} is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R_{19} is bound is nitrogen.

- 35. A compound according to claim 34, wherein two R_{19} are taken together to form a substituted or unsubstituted fused or bridged ring.
- 36. A compound comprising Formula XXXI:

XXXI

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

 R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

37. A compound according to claim 36, wherein at least one of W, X, and Y is CO.

- 38. A compound according to claim 36, wherein at least one of W, X, and Y is SO.
- 39. A compound according to claim 36, wherein at least one of W, X, and Y is SO₂.
- 40. A compound according to claim 36, wherein at least one of W, X, and Y comprises a ring nitrogen atom.
- 41. A compound according to claim 36, wherein at least two of W, X, and Y comprises a ring nitrogen atom.
- 42. A compound according to claim 36, wherein W and Y are taken together to form a substituted or unsubstituted bridged ring relative to the ring formed by W, X and Y.
- 43. A compound according to claim 36, wherein two of W, X, and Y are taken together to form a substituted or unsubstituted ring fused to the ring formed by W, X and Y.
- 44. A compound comprising a member selected from the group consisting of Formulae XXXIIa, XXXIIb or XXXIIc:

$$O = \begin{pmatrix} R_{19} & R_{19} & R_{19} & R_{19} \\ R_{19} & R_{19} & R_{19} & R_{19} \\ R_{19} & R_{19} & R_{19} & R_{19} \\ XXXIIa & XXXIIb & XXXIIc \end{pmatrix}$$

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

 R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R_{19} is independently selected from the group consisting of hydrogen, halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted, with the proviso that R_{19} is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R_{19} is bound is nitrogen.

45. A compound according to claim 44, wherein two R_{19} are taken together to form a substituted or unsubstituted bridged or spiro ring.

46. A compound comprising Formula XXXIIIa or Formula XXXIIIb:

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

W, X, and Y are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

- 47. A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIa wherein Y is selected from the group consisting of CO, SO or SO₂.
- 48. A compound according to claim 46, wherein the compound is a compound of Formula XXXIIIb wherein W is selected from the group consisting of CO, SO or SO₂.
- 49. A compound according to claim 46, wherein W comprise a ring nitrogen atom.
- 50. A compound according to claim 46, wherein X comprise a ring nitrogen atom.
- 51. A compound according to claim 46, wherein Y comprise a ring nitrogen atom.
- 52. A compound according to claim 46, wherein at least two of W, X, and Y comprises a ring nitrogen atom.
- 53. A compound according to claim 46, wherein two of W, X, and Y are taken together and substituted through available valencies to form a substituted or unsubstituted ring fused or bridged to the ring formed by W, X and Y.
- 54. A compound according to claim 46, wherein W, X, and Y are selected such that the compound comprises a ring system selected from the group consisting of 4-oxo-4H-thieno[3,2-

d]pyrimidine, 7-oxo-1,2,3,7-tetrahydro-8-thia-4,6-diaza-cyclopenta[a]indene, 7-methyl-6-oxo-6,7-dihydro-purine, and 6-oxo-6,9-dihydro-purine, each substituted or unsubstituted.

55. A compound comprising Formulae XXXIVa, XXXIVb, or XXXIVc:

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

X is selected from the group of moieties where the ring atom is either C, N, O or S in Formula XXIVa, or X is selected from the group of moieties where the ring atom is either C or N in Formula XXXIVb or Formula XXXIVc;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

R₂ is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R₂ is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein;

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted; and

each R_{19} is independently selected from the group consisting of hydrogen, halo, perhalo(C_{1-10})alkyl, CF_3 , cyano, nitro, alkyl, alkene, alkyne, aryl, heteroaryl, aminosulfonyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aryloxy, heteroaryloxy, arylalkyl, heteroarylalkyl, cycloalkyl, heterocycloalkyl, amino, thio, alkoxy, carbonyl group, imine group, sulfonyl group and sulfinyl group, each substituted or unsubstituted,

with the proviso that R_{19} is not alkylthio, arylthio, halo, cyano, nitro, and thio in the case where the ring atom to which R_{19} is bound is nitrogen.

- 56. A compound according to claim 55, wherein two R_{19} are taken together to form a substituted or unsubstituted ring.
- 57. A compound according to claim 55, wherein the compound comprises Formula XXXIVa and the two R_{19} are taken together to form a substituted or unsubstituted fused or bridged ring.
- 58. A compound comprising a member selected from the group of Formulae XXXVa, XXXVb and XXXVc:

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

 R_1 is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring; and

 R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein.

59. A compound according to claim 58, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.

- 60. A compound according to claim 58, wherein at least one of J, K, L and M comprise a nitrogen ring atom.
- 61. A compound according to claim 58, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.
- 62. A compound according to claim 58, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.
- 63. A compound according to claim 58, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
- 64. A compound according to claim 58, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
- 65. A compound according to claim 58, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
- 66. A compound according to claim 58, wherein at least one of J, K, L and M is CO.
- 67. A compound according to claim 58, wherein at least one of J, K, L and M is SO.
- 68. A compound according to claim 58, wherein at least one of J, K, L and M is SO₂.
- 69. A compound according to claim 58, wherein the ring formed by J, K, L, and M comprises substituents, through available valencies, that form a ring fused to the ring formed by J, K, L, and M or, in the case of Formula XXXVb, J and M form a bridged ring relative to the ring formed by J, K, L, and M.
- 70. A compound comprising Formula XXXVI:

XXXVI

wherein

Q is selected from the group consisting of CO, CS, SO, SO₂, or C=NR₉;

J, K, L, and M are each independently selected from the group of moieties where the ring atom is either C, N, O or S;

R₁ is selected from the group consisting of a substituted or unsubstituted 3, 4, 5, 6 or 7 membered ring;

 R_2 is -UV, where U is a moiety providing 1-6 atom separation between V and the ring to which R_2 is attached and V comprises a basic nitrogen atom that is capable of interacting with a carboxylic acid side chain of an active site residue of a protein; and

R₉ is hydrogen or is selected from the group consisting of alkyl, cycloalkyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, bicycloaryl, and heterobicycloaryl, each substituted or unsubstituted.

- 71. A compound according to claim 70, wherein at least one of J, K, L and M is CO.
- 72. A compound according to claim 70, wherein at least one of J, K, L and M is SO.
- 73. A compound according to claim 70, wherein at least one of J, K, L and M is SO₂.
- 74. A compound according to claim 70, wherein the compound is a compound where J, K, L and M each comprise a carbon ring atom.
- 75. A compound according to claim 70, wherein the compound is a compound where J comprises a nitrogen ring atom.

- 76. A compound according to claim 70, wherein the compound is a compound where K comprises a nitrogen ring atom.
- 77. A compound according to claim 70, wherein the compound is a compound where L comprises a nitrogen ring atom.
- 78. A compound according to claim 70, wherein the compound is a compound where M comprises a nitrogen ring atom.
- 79. A compound according to claim 70, wherein the compound is a compound where J and K each comprise a nitrogen ring atom or J and L each comprise a nitrogen ring atom.
- 80. A compound according to claim 70, wherein the compound is a compound where K and L each comprise a nitrogen ring atom or K and M each comprise a nitrogen atom.
- 81. A compound according to claim 70, wherein the compound is a compound where J and M each comprise a nitrogen ring atom or L and M each comprise a nitrogen ring atom.
- 82. A compound according to claim 70, wherein at least two of J, K, L and M comprise a nitrogen ring atom.
- 83. A compound according to claim 70, wherein at least three of J, K, L and M comprise a nitrogen ring atom.
- 84. A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a ring fused to the ring formed by J, K, L, and M.
- 85. A compound according to claim 70, wherein the ring formed by J, K, L, and M comprises substituents that form a bridged ring relative to the ring formed by J, K, L, and M.

- 86. A compound selected from the group consisting of:
 - 2-Aminomethyl-3-phenyl-3H-quinazolin-4-one;
 - 2-Ethylaminomethyl-3-phenyl-3H-quinazolin-4-one;
 - [(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid methyl ester;
 - [(4-Oxo-3-phenyl-3,4-dihydro-quinazolin-2-ylmethyl)-amino]-acetic acid;
 - 2-Aminomethyl-3-(2,4-dichloro-phenyl)-3H-quinazolin-4-one;
 - 2-Aminomethyl-3-(2-chloro-phenyl)-3H-quinazolin-4-one; and
 - 2-Aminomethyl-3-(4-chloro-phenyl)-3H-quinazolin-4-one.